EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	951	(546/113,514/300).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/09/20 06:06
L2	197	l1 and azaindole	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/09/20 06:07
L3	3	12 and CB	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/09/20 06:07

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PASSWORD:

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NEWS
                Web Page for STN Seminar Schedule - N. America
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JUL 02
NEWS
                 LMEDLINE coverage updated
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NEWS 3 JUL 02 SCISEARCH enhanced with complete author names

CHEMCATS accession numbers revised JUL 02 NEWS

JUL 02 CA/CAplus enhanced with utility model patents from China NEWS

JUL 16 NEWS CAplus enhanced with French and German abstracts

NEWS 7 JUL 18 CA/CAplus patent coverage enhanced

NEWS 8 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification

NEWS 9 JUL 30 USGENE now available on STN

NEWS 10 AUG 06 CAS REGISTRY enhanced with new experimental property tags

NEWS 11 AUG 06 BEILSTEIN updated with new compounds

NEWS 12 AUG 06 FSTA enhanced with new thesaurus edition

NEWS 13 AUG 13 CA/CAplus enhanced with additional kind codes for granted patents

NEWS 14 AUG 20 CA/CAplus enhanced with CAS indexing in pre-1907 records

NEWS 15 AUG 27 Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB

NEWS 16 AUG 27 USPATOLD now available on STN

NEWS 17 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data

NEWS 18 SEP 07 STN AnaVist, Version 2.0, now available with Derwent World Patents Index

NEWS 19 SEP 13 FORIS renamed to SOFIS

NEWS 20 SEP 13 INPADOCDB enhanced with monthly SDI frequency

NEWS 21 SEP 17 CA/CAplus enhanced with printed CA page images from 1967-1998

NEWS 22 SEP 17 CAplus coverage extended to include traditional medicine patents

19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, NEWS EXPRESS CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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=> file reg
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

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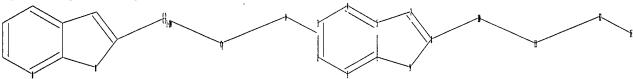
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http://www.cas.org/support/stngen/stndoc/properties.html

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chain nodes : 10 11 12 ring nodes : 1 2 3 4 5 6 7 8 9 ring/chain nodes : 16 chain bonds : 8-10 10-11 11-12 12-16 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 exact/norm bonds : 6-9 8-9 10-11 11-12 12-16 exact bonds : 5-7 7-8 8-10 normalized bonds : 1-2 1-6 2-3 3-4 4-5 isolated ring systems : containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom 12:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 05:59:56 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1064 TO ITERATE

100.0% PROCESSED 1064 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

19324 TO 23236

PROJECTED ANSWERS:

6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 06:00:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 22434 TO ITERATE

100.0% PROCESSED 22434 ITERATIONS

101 ANSWERS

SEARCH TIME: 00.00.01

L3 101 SEA SSS FUL L1

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ENTRY SESSION

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8 L3

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ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

2007:672998 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 147:95703

Preparation of 6-piperazinyl-1H-pyrrolo[2,3-b]pyridine-TITLE:

2-carboxamides as histidine H3 receptor modulators for the treatment of obesity, diabetes and dyslipidemia

Nettekoven, Matthias; Roche, Olivier

INVENTOR(S): F. Hoffmann-La Roche AG, Switz. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 53pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATENT NO. | | | | | KIND DATE | | | | APPL | ICAT | <u>.</u> | DATE | | | | | | |
|------|--|------------|-----|-----|-----|----------------|----------|-----|-----|----------------|-------|----------|----------|-----|------------|-----|-----|--|--|
| | WO 2007 | 2007068641 | | | | - | 20070621 | | | WO 2 | 006-1 | | 20061206 | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | | |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | | |
| | | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | | |
| | | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | | |
| | | MN, | MW. | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | | |
| | | - | • | | • | | SG, | | | - | - | - | - | - | - | | | | |
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| | RW: | | | | | | CZ, | | | | | FI, | FR, | GB, | GR, | HU. | IE, | | |
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| | | • | ΚZ, | • | • | • | • | • | • | • | • | • | • | • | • | • | • | | |
| | US 2007142358 | | | | | · | | | | US 2006-634563 | | | | | 20061206 | | | | |
| PRIO | PRIORITY APPLN. INFO.: | | | | | | | | | EP 2005-112317 | | | | | A 20051216 | | | | |
| | OTHER SOURCE(S): | | | | | - - | | | | | | | | - | _ | | | | |
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AB Title compds. I [wherein R1 = alkyl, cycloalkyl, (un)substituted Ph, etc.; R2, R3 = H, alkyl, (un) substituted Ph, etc.; R1 and R2 may link together to form a heterocyclic ring; R4 = (cyclo)alkyl] and pharmaceutically acceptable salts thereof were prepared as histidine H3 receptor modulators. For instance, substitution of 6-bromo-1H-pyrrolo[2,3-b]pyridine-2carboxylic acid Et ester with 1-cyclopentylpiperazine followed by ester hydrolysis, and subsequent condensation with piperidine gave pyrrolopyridinecarboxamide II. This product exhibited binding affinity with a Ki value of 48.4 nM in an assay using HR3-CHO membranes. The invented compds. and their pharmaceutical compns. are potentially useful for the treatment and/or prevention of diseases which are associated with the modulation of H3 receptors, such as obesity, diabetes and dyslipidemia. TΤ 942197-32-2P

Ι

II

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperazinyl pyrrolopyridinecarboxamides as histidine H3 receptor modulators for treatment of obesity, diabetes and dyslipidemia)

RN 942197-32-2 CAPLUS

Methanone, [6-(4-cyclopentyl-1-piperazinyl)-1H-pyrrolo[2,3-b]pyridin-2-CN yl](4-methoxy-1-piperidinyl)- (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

5

ACCESSION NUMBER:

REFERENCE COUNT:

2004:857600 CAPLUS

DOCUMENT NUMBER:

141:332183

TITLE:

Preparation of azaindole derivatives

(pyrrolopyridines), preparations thereof, uses thereof

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

and compositions containing them

INVENTOR(S):

Wei, Zhongyong; Dolaine, Regis; Walpole, Christopher;

Yang, Hua

PATENT ASSIGNEE(S):

Astrazeneca Ab, Swed. SOURCE: PCT Int. Appl., 77 pp. CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA | PATENT NO. | | | | | KIND | | DATE | | | ICAT | | DATE | | | | | | |
|---------|------------------------|-----|-----|-----------|-----|-------------|------|------|----------------|----------------|------|------|----------|----------|----------|------|-----|--|--|
| WO | 0 2004087704 | | | A1 200410 | | | 1014 | | | | | 2 | 20040326 | | | | | | |
| | W: | ΑE, | AG, | AL, | ΑM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FΙ, | GB, | GD, | | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | ΚP, | KR, | ΚZ, | LC, | | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NΑ, | ΝI, | | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | | |
| | | ТJ, | TM, | TN, | TR, | TT, | ΤZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | zw | | |
| | RW: | BW, | GH, | GM, | ΚE, | LS, | MW, | ΜZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | | |
| | | BY, | KG, | ΚZ, | MD, | RU, | ТJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | | |
| | | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | | |
| | | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | | |
| | | TD, | TG | | | | | | | | | | | | | | | | |
| EP | EP 1615922 | | | | | A1 20060118 | | | | EP 2004-723882 | | | | | 20040326 | | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | | |
| | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | PL, | SK | | |
| JP | JP 2006522112 | | | | | | 2006 | 0928 | | JP 2 | 006- | 5079 | 89 | 20040326 | | | | | |
| US | US 2007027179 | | | | | | 2007 | 0201 | US 2005-550663 | | | | | 20050926 | | | | | |
| PRIORIT | PRIORITY APPLN. INFO.: | | | | | | | | | SE 2 | 003- | 908 | | | A 2 | 0030 | 331 | | |
| | | | | | | | | | | WO 2 | 004- | SE47 | 2 | 1 | W 2 | 0040 | 326 | | |
| OTHER S | OTHER SOURCE(S): | | | | | PAT | 141: | 3321 | | | | | | | | | | | |

Ι

$$R3$$
 $R?$
 N
 N
 $R1$

AB Compds. of formula I [R1 = cycloalkylmethyl or tetrahydropyranylmethyl; X = divalent group that separates groups connected thereto by one or two saturated carbons; Ar = divalent aromatic group; R2 = (un)substituted-alkyl, -aryl or heteroaryl; R3 = carbon group connected to the six membered ring via a N atom or carbonyl group; Ra and Rb = R, halo, NO2, OR, CO2H, etc., wherein R = H or alkyl], as well as their pharmaceutically acceptable salts, and pharmaceutical compns. including the compds. are prepared Thus, e.g., II was prepared by substitution of 2-chloro-3-methyl-5-nitropyridine with cyclohexylmethylamine followed by nitro group reduction, amidation with trimethylacetyl chloride, and cyclocondensation with Me

4-ethoxybenzeneacetic acid ester. I possessed Ki values of 29-5852 nM in assays with human CB1 receptors. They are useful in therapy, in particular in the management of pain.

IT 773147-25-4P 773147-54-9P 773147-78-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of pyrrolopyridines with analgesic activity)

RN 773147-25-4 CAPLUS

CN Carbamic acid, [1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 773147-54-9 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-78-7 CAPLUS

CN Carbamic acid, [1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-, 1-methylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-42-5 CMF C26 H34 N4 O3

CRN 76-05-1 CMF C2 H F3 O2

ΙT 773147-21-0P 773147-22-1P 773147-23-2P 773147-24-3P 773147-26-5P 773147-27-6P 773147-28-7P 773147-29-8P 773147-30-1P 773147-31-2P 773147-32-3P 773147-33-4P 773147-34-5P 773147-35-6P 773147-36-7P 773147-37-8P 773147-38-9P 773147-39-0P 773147-40-3P 773147-41-4P 773147-42-5P 773147-43-6P 773147-44-7P 773147-45-8P 773147-46-9P 773147-47-0P 773147-48-1P 773147-49-2P 773147-50-5P 773147-51-6P 773147-52-7P 773147-53-8P 773147-55-0P 773147-56-1P 773147-57-2P 773147-59-4P 773147-61-8P 773147-63-0P 773147-64-1P 773147-65-2P 773147-67-4P 773147-69-6P 773147-70-9P 773147-72-1P 773147-74-3P 773147-76-5P 773147-79-8P 773147-80-1P 773147-81-2P 773147-82-3P 773147-83-4P 773147-84-5P 773147-85-6P 773147-86-7P 773147-87-8P 773147-88-9P 773147-89-0P 773147-90-3P 773147-91-4P 773147-92-5P 773147-93-6P 773147-94-7P 773147-95-8P 773147-96-9P 773147-97-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of pyrrolopyridines with analgesic activity) RN 773147-21-0 CAPLUS CN Urea, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-

b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 773147-22-1 CAPLUS

CN Butanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-23-2 CAPLUS

CN 3-Isoxazolecarboxamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-24-3 CAPLUS

CN Propanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-26-5 CAPLUS

CN Benzenesulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,6-difluoro-N-methyl- (9CI) (CA INDEX NAME)

RN

RN 773147-28-7 CAPLUS

CN Butanamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-29-8 CAPLUS

CN Urea, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 773147-30-1 CAPLUS

CN 1H-Imidazole-5-sulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,1-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-31-2 CAPLUS

CN 3-Isoxazolecarboxamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-32-3 CAPLUS

CN Benzamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-4-(dimethylamino)-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-33-4 CAPLUS

CN Benzoic acid, 4-[[[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]methylamino]sulfonyl]- (9CI) (CA INDEX NAME)

RN 773147-34-5 CAPLUS

CN Benzenesulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-2-nitro-(9CI) (CA INDEX NAME)

RN 773147-35-6 CAPLUS

CN Benzenesulfonamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,6-difluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-36-7 CAPLUS

CN Cyclobutanecarboxamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-37-8 CAPLUS

CN Benzamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,5-difluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-38-9 CAPLUS

CN Propanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-39-0 CAPLUS

CN Propanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2,2-trimethyl- (9CI) (CA INDEX NAME)

RN 773147-40-3 CAPLUS

CN Urea, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 773147-41-4 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-42-5 CAPLUS

CN Carbamic acid, [1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 773147-43-6 CAPLUS

CN Urea, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N', N'-diethyl-N-methyl- (9CI) (CA INDEX NAME)

773147-44-7 CAPLUS

RN

RN 773147-45-8 CAPLUS

CN Butanamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-46-9 CAPLUS

CN Benzenesulfonamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-47-0 CAPLUS

CN Carbamic acid, [1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]methyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 773147-48-1 CAPLUS

CN Urea, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 773147-49-2 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2,2-trimethyl- (9CI) (CA INDEX NAME)

RN 773147-50-5 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-51-6 CAPLUS

CN Cyclopropanecarboxamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-52-7 CAPLUS

CN Benzamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-53-8 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(3-methoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-55-0 CAPLUS

CN Benzamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 773147-56-1 CAPLUS

CN Butanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-57-2 CAPLUS

CN Propanamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RN 773147-59-4 CAPLUS

CN Benzamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \text{Me} \\ \parallel & \parallel \\ \text{Ph-C-N} \\ \hline & N & \text{CH}_2 & \parallel \\ \hline & N & \text{OEt} \\ \end{array}$$

RN 773147-61-8 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(3-methoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-53-8 CMF C27 H35 N3 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-63-0 CAPLUS

CN Urea, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-21-0 CMF C28 H38 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-64-1 CAPLUS

CN Butanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-

pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CM 1

CRN 773147-22-1 CMF C29 H39 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-65-2 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-50-5 CMF C28 H37 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-67-4 CAPLUS

CN Cyclopropanecarboxamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-51-6 CMF C28 H35 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN

773147-69-6 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2,2-trimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-49-2 CMF C29 H39 N3 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-70-9 CAPLUS

CN Urea, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N',N'-diethyl-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-43-6 CMF C29 H40 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-72-1 CAPLUS

CN 3-Isoxazolecarboxamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,5-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-23-2 CMF C29 H34 N4 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-74-3 CAPLUS

CN Benzamide, N-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2-fluoro-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-55-0 CMF C31 H34 F N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-76-5 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,2-dimethyl-, mono(trifluoroacetate) (9CI)

(CA INDEX NAME)

CM 1

CRN 773147-41-4 CMF C27 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-79-8 CAPLUS

CN Propanamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2,2-trimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-44-7 CMF C28 H38 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-80-1 CAPLUS

CN Butanamide, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-45-8 CMF C28 H38 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-81-2 CAPLUS

CN Urea, N-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-48-1 CMF C27 H37 N5 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-82-3 CAPLUS

CN Benzenesulfonamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,6-difluoro-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-35-6 CMF C29 H31 F2 N3 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-83-4 CAPLUS

CN Cyclobutanecarboxamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-36-7 CMF C28 H35 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 773147-84-5 CAPLUS

Benzamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,5-difluoro-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-37-8 CMF C30 H31 F2 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2 RN 773147-85-6 CAPLUS

CN Propanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-38-9 CMF C27 H35 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-86-7 CAPLUS

CN Propanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,2,2-trimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-39-0 CMF C28 H37 N3 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-87-8 CAPLUS

CN Urea, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-N'-(1-methylethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-40-3 CMF C27 H36 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-88-9 CAPLUS

CN Butanamide, N-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2H-pyran-4-yl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-56-1 CMF C28 H37 N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-89-0 CAPLUS

CN Benzenesulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-2,6-difluoro-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-26-5

CMF C28 H29 F2 N3 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-90-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-27-6 CMF C28 H30 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-91-4 CAPLUS

CN Butanamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,3-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-28-7 CMF C27 H35 N3 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-92-5 CAPLUS

CM 1

CRN 773147-29-8 CMF C26 H34 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-93-6 CAPLUS
CN 1H-Imidazole-5-sulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N,1-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-30-1 CMF C26 H31 N5 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-94-7 CAPLUS

CN 3-Isoxazolecarboxamide, N-[1-(cyclobutylmethyl)-2- $\{(4-\text{ethoxyphenyl}) \text{methyl}\}$ -1H-pyrrolo[2,3-b]pyridin-5-yl]-N,5-dimethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-31-2 CMF C27 H30 N4 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-95-8 CAPLUS

CN Benzamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-4-(dimethylamino)-N-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-32-3 CMF C31 H36 N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-96-9 CAPLUS

CN Benzoic acid, 4-[[[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]methylamino]sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-33-4 CMF C29 H31 N3 O5 S

CRN 76-05-1 CMF C2 H F3 O2

RN 773147-97-0 CAPLUS

CN Benzenesulfonamide, N-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-5-yl]-N-methyl-2-nitro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 773147-34-5 CMF C28 H30 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 773148-02-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773148-06-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 773148-08-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 2-[(4-ethoxyphenyl)methyl]-N-methyl-1-[(tetrahydro-2H-pyran-4-yl)methyl]- (9CI) (CA INDEX NAME)

MeNH CH2 OEt

RN 773148-10-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-5-amine, 1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-N-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:796483 CAPLUS

DOCUMENT NUMBER: 139:292139

TITLE: Preparation of heteroarylalkanols as glucocorticoid

mimetics for treatment of inflammatory, allergic, and

proliferative diseases

INVENTOR(S): Bekkali, Younes; Betageri, Raj; Gilmore, Thomas A.;

Cardozo, Mario G.; Kirrane, Thomas M.; Kuzmich, Daniel; Proudfoot, John Robert; Takahashi, Hidenori; Thomson, David; Wang, Ji; Zindell, Renee; Harcken, Christian Hanke Justus Joachim; Riether, Doris

Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 277 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

PATENT ASSIGNEE(S):

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA' | TENT | NO. | | | | | | | | | PLICA | TION | NO. | | | DATE | |
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| | D.F.T. | | | | | | VN, | | | | | | 714 | C7 T-7 | 70.04 | 7.07 | DV |
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| | | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | . GÇ |), GW | , ML, | MR, | NE, | SN | , TD, | |
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| US | 2004 | 0239 | 99 | | A1 | | | | | US | 2003 | -3943 | 803 | | | 20030 | 321 |
| | 6903 | | | | В2 | | 2005 | | | | | | | | | | |
| EP | 1490 | | | | | | | | | | | | | | | 20030 | |
| | R: | | | | | | | | | | | | | | | , MC, | PT, |
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| BR | 2003 | 0087 | 84 | | Α | | 2005 | 0111 | | BR | 2003 | -8784
-8071 | | | | 20030 | 321 |
| CN | 1633 | 296 | | | Α | | 2005 | 0629 | | CN | 2003 | -8071 | .80 | | | 20030 | 321 |
| JP | 2005 | 5275 | 55 | | T | | 2005 | 0915 | | | 2003 | -5798 | 18 | | | 20030 | 321 |
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2004 | DN02 | 316 | | A | | 2005 | 0401 | | IN | 2004 | -DN23 | 16 | | | 20040 | 810 |
| US | 2005 | 0597 | 14 | | ΑI | | 2005 | 0317 | | US | 2004 | -9446 | 15 | | | 20040
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| MX | 2004 | PA09 | 329 | | Α | | 2005 | | | MX | 2004 | -PA93 | 129 | | | 20040 | 924 |
| US | 2005 | 2828 | 81 | | A1 | | 2005 | 1222 | | US | 2005 | -1853 | 49 | | ١ | 20050 | 720 |
| ZA | 2004 | 0622 | 5 | | Α | | 2006 | 0531 | | ZA | 2004 | -6225 | , | | | 20060 | 317 |
| US | 2006 | 1896 | 47 | | A1 | | 2006 | | | US | 2006 | -4104 | 08 | | | 20060 | 425 |
| PRIORIT | | | | | | | | | | US | 2002 | -3677 | 58P | | Р | 20020 | 326 |
| | | | | | | | | | | US | 2002 | -4318 | 17P | | P | 20021 | |
| | | | | | | | | | | | | -4424 | | | | 20030 | |
| | | | | | | | | | | | | -3943 | | | | 20030 | |
| | | | | | | | | | | | | -US89 | | | | 20030 | |
| | | | | | | | | | | | | | 15 | | Δ1 | 20030 | - |
| | | | | | | | | | | 110 | 2004 | -1853 | 49 | | | 20040 | |
| OTHER SO | OURCE | (S): | | | MAR | PAT | 139: | 29213 | 39 | 05 | 2003 | 1000 | コン | | L/ T | 20000 | 120 |

OTHER SOURCE(S):

$$R^2$$
 R^4
 R^5
 R^4
 R^5
 R^4
 R^5
 R^6
 R^4
 R^5
 R^6
 R^6

III

AB Title compds. I and II [wherein R1 = substituted (hetero)aryl; R2 and R3 = independently H or alkyl; or CR2R3 = cycloalkyl; R4 = (un)substituted alkyl, alkenyl, or alkynyl; R5 = substituted heteroaryl; and R6 (when present) = (un)substituted alkyl, alkenyl, alkynyl, carbocyclyl(alkyl), heterocyclyl(alkyl), (hetero)aryl(alkyl), arylhaloalkyl, carbocyclylalkenyl, heterocyclylalkenyl, or (hetero)arylalkenyl; and tautomers, prodrugs, solvates, or salts thereof] were prepared as glucocorticoid mimetics (no data). For example, 1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-one (multi-step preparation from Et trifluoropyruvate, 1-bromo-2-methylpropene, and 4-fluoroanisole given) was coupled with 2-methyl-5-phenylbenzoxazole using LDA in THF to afford III. I, II, and pharmaceutical compns. containing such compds. are useful for treating inflammatory, allergic, or proliferative disorders mediated by glucocorticoid receptor (GR) function (no data).

TT 609851-47-0P. 1.1.1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-

IT 609851-47-0P, 1,1,1-Trifluoro-4-(5-fluoro-2-methoxyphenyl)-4methyl-2-[(1H-pyrrolo[2,3-b]pyridin-2-yl)methyl]pentan-2-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(glucocorticoid mimetic; preparation of heteroarylalkanols as GR modulators for treatment of inflammatory, allergic, and proliferative diseases)

RN 609851-47-0 CAPLUS

CN

1H-Pyrrolo[2,3-b]pyridine-2-ethanol, α -[2-(5-fluoro-2-methoxyphenyl)-2-methylpropyl]- α -(trifluoromethyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:356415 CAPLUS

DOCUMENT NUMBER: 138:368759

TITLE: Preparation of 2-acylindoles as tubulin polymerization

inhibitors for the treatment of metastatic tumors

INVENTOR(S): Beckers, Thomas; Mahboobi, Siavosh; Pongratz, Herwig;

Frieser, Markus; Hufsky, Harald; Hockemeyer, Joerg;

Vanhoefer, Udo

PATENT ASSIGNEE(S): Baxter Healthcare SA, Switz.

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | KIND DATE | | | | APPLICATION NO. | | | | | | DATE | | | | |
|------------|----|------|------|-----------|-----|-----|-----|-----------------|------|-----|------|------|------|------|-----|-----|------|-----|
| | WO | 2003 | 0378 | 61 | | A1 | _ | 2003 | 0508 | 1 | WO 2 | 002- | EP11 | 883 | | 2 | 0021 | 024 |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
| | | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | OM, | PH, |
| | | | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ. | TM. | TN. | TR. | TT. | TZ. |

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UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
            RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
                 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
      DE 10152306
                                            20030724
                                                             DE 2001-10152306
                                                                                             20011026
                                    Α1
                                            20040804
                                                             EP 2002-802302
                                                                                             20021024
      EP 1442015
                                    Α1
                 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
       JP 2005516895
                                    Т
                                            20050609
                                                             JP 2003-540143
                                                                                             20021024
PRIORITY APPLN. INFO.:
                                                             DE 2001-10152306
                                                                                         Α
                                                                                             20011026
                                                             WO 2002-EP11883
                                                                                         W
                                                                                             20021024
                                  MARPAT 138:368759
OTHER SOURCE(S):
GΙ
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$$R^4$$
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 R^4
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 R^5
 R^6
 R^1
 R^6
 R^1
 R^6
 R^7
 R^8
 R^8

(CA INDEX NAME)

Title compds. I [R1 = H, alkylcarbonyl, e.g., acetyl, alkyl etc.; R2 = H, AΒ halo, CN, etc.; A = B, C, D = independently for a N or C with provisos; Y = electron pair, H, halo with provisos; X = O, S, NH, etc.] and their pharmaceutically acceptable salts were prepared For example, sodium hydroxide mediated deprotection of N-sulfone II, e.g., prepared from benzoyl chloride and 5-methoxy-1-(phenylsulfonyl)-1H-indole, afforded acylindole In tubulin polymerization inhibition studies, 8-examples of I exhibited IC50 values ranging from 0.53->10 $\mu M,$ e.g., the IC50 value of acylindole III was 0.53 µM. Compds. I are claimed useful for the treatment of therapy-resistant and metastatic tumors. ΙT 370580-89-5P 370580-90-8P 370580-91-9P 370580-92-0P 521309-89-7P 521309-90-0P 521309-91-1P 521309-92-2P 521310-04-3P 521310-05-4P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of acylindoles as tubulin polymerization inhibitors for the treatment of metastatic tumors) RN 370580-89-5 CAPLUS CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI)

RN 370580-90-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(3-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-91-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)-(9CI) (CA INDEX NAME)

RN 370580-92-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2,4-dimethoxybenzoyl)-1-(phenylsulfonyl)(9CI) (CA INDEX NAME)

RN 521309-89-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy-2-(2-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 521309-90-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy-2-(3-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 521309-91-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2,4-dimethoxybenzoyl)-5-methoxy-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 521309-92-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 5-methoxy-1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

RN 521310-04-3 CAPLUS

CN Methanone, (5-methoxy-7-oxido-1H-pyrrolo[2,3-b]pyridin-2-yl)(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 521310-05-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-acetyl-5-methoxy-2-(3,4,5-trimethoxybenzoyl)-, 7-oxide (9CI) (CA INDEX NAME)

IT 370581-48-9P 370581-49-0P 370581-50-3P

370581-51-4P 521309-94-4P 521309-95-5P

521309-96-6P 521309-97-7P 521309-98-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of acylindoles as tubulin polymerization inhibitors $% \left(\frac{1}{2}\right) =\frac{1}{2}\left(\frac{1}{2}\right) +\frac{1}{2}\left(\frac{1}{$

for the treatment of metastatic tumors)

RN 370581-48-9 CAPLUS

CN Methanone, (2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-49-0 CAPLUS

CN Methanone, (3-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-50-3 CAPLUS

CN Methanone, 1H-pyrrolo[2,3-b]pyridin-2-yl(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 370581-51-4 CAPLUS

CN Methanone, (2,4-dimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 521309-94-4 CAPLUS

CN Methanone, (2-methoxyphenyl)(5-methoxy-1H-pyrrolo[2,3-b]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 521309-95-5 CAPLUS

CN Methanone, (3-methoxyphenyl)(5-methoxy-1H-pyrrolo[2,3-b]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 521309-96-6 CAPLUS

CN Methanone, (2,4-dimethoxyphenyl) (5-methoxy-1H-pyrrolo[2,3-b]pyridin-2-yl)- (9CI) (CA INDEX NAME)

RN 521309-97-7 CAPLUS

CN Methanone, (5-methoxy-1H-pyrrolo[2,3-b]pyridin-2-yl)(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 521309-98-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-acetyl-6-ethoxy-2-(3,4,5-trimethoxybenzoyl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:836852 CAPLUS

DOCUMENT NUMBER:

136:112229

TITLE:

Synthetic 2-Aroylindole Derivatives as a New Class of

Potent Tubulin-Inhibitory, Antimitotic Agents

AUTHOR(S):

Mahboobi, Siavosh; Pongratz, Herwig; Hufsky, Harald; Hockemeyer, Joerg; Frieser, Markus; Lyssenko, Alexei; Paper, Dietrich H.; Buergermeister, Jutta; Boehmer, Frank-D.; Fiebig, Heinz-Herbert; Burger, Angelika M.;

Baasner, Silke; Beckers, Thomas

CORPORATE SOURCE:

Faculty of Chemistry and Pharmacy Institute of Pharmacy, University of Regensburg, Regensburg,

D-93040, Germany

SOURCE:

Journal of Medicinal Chemistry (2001), 44(26),

4535-4553

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: LANGUAGE:

Journal English

OTHER SOURCE(S):

CASREACT 136:112229

A new class of simple synthetic antimitotic compds. based on 2-aroylindoles was discovered. (5-Methoxy-1H-2-indolyl)-phenylmethanone (I) as well as analogous 3-fluorophenyl- and 3-methoxyphenyl derivs. displayed high cytotoxicity of IC50 = 20 to 75 nM against the human HeLa/KB cervical, SK-OV-3 ovarian, and U373 astrocytoma carcinoma cell lines. The inhibition of proliferation correlated with the arrest in the G2/M phase of the cell cycle. In in vitro assays with tubulin isolated from bovine brain, in general antiproliferative activity correlated with inhibition of tubulin polymerization Thus, the antimitotic activity of 2-aroylindoles is explained by interference with the mitotic spindle apparatus and destabilization of microtubules. In contrast to colchicine, vincristine, nocodazole, or taxol, I did not significantly affect the GTPase activity of β -tubulin. Interestingly, selected compds. inhibited angiogenesis in the chorioallantoic membrane (CAM) assay. xenograft expts., I was highly active after oral administration at 200 mg/kg against the human amelanocytic melanoma MEXF 989 in athymic nude

mice. We conclude, that 2-aroylindoles constitute an interesting new class of antitubulin agents with the potential to be clin. developed for cancer treatment.

IT 370581-48-9P 370581-49-0P 370581-50-3P

370581-51-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aroylindoles as tubulin-inhibitory antimitotic agents)

RN 370581-48-9 CAPLUS

CN Methanone, (2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-49-0 CAPLUS

CN Methanone, (3-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-50-3 CAPLUS

CN Methanone, 1H-pyrrolo[2,3-b]pyridin-2-yl(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 370581-51-4 CAPLUS

CN Methanone, (2,4-dimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

IT 370580-89-5P 370580-90-8P 370580-91-9P

370580-92-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aroylindoles as tubulin-inhibitory antimitotic agents)

RN 370580-90-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(3-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI)
(CA INDEX NAME)

RN 370580-91-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)(9CI) (CA INDEX NAME)

RN 370580-92-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2,4-dimethoxybenzoyl)-1-(phenylsulfonyl)(9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:816437 CAPLUS

DOCUMENT NUMBER: 135:352771

TITLE: (Hetero)indole derivatives, their preparation,

pharmaceutical compositions, and their use as

antitumor agents

INVENTOR(S): Beckers, Thomas; Baasner, Silke; Klenner, Thomas;

Mahboobi, Siavosh; Pongratz, Herwig; Frieser, Markus;

Hufsky, Harald; Hockemeyer, Jorg; Fiebig,

Heinz-Herbert; Burger, Angelika; Bohmer, Frank-D.

PATENT ASSIGNEE(S): Asta Medica A.-G., Germany

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PA' | KIND DATE | | | | APPLICATION NO. | | | | | | DATE | | | | | | | |
|--------|--------------------------------|------------|------------|------------|-----------------|------|----------------------|------------|----------------|-----|------|-------|-------|-------|-----|----------|-------------------------|-----|
| | WO 2001082909
WO 2001082909 | | | | | | 20011108
20020314 | | WO 2001-EP4783 | | | | | | : | 20010427 | | |
| | W: | GB,
MX, | GE,
NO, | HR,
NZ, | HU,
PL, | ID, | IL, | IN,
RU, | IS, | JI | Ρ, | KG, | KR, | KZ, | LT, | LU | ES,
LV,
UZ, | MK, |
| | RW: | | SE. | ΤR | | | DK, | ES, | FI, | FF | ₹, | GB, | GR, | IE, | IT, | LU | , MC, | NL, |
| DE | 1002 | 0852 | | | A1 | | 2001 | 1031 | | DE | 20 | 000- | 1002 | 0852 | | | 20000 | 428 |
| DE | 1010 | 2629 | | | A1 | | 2002 | 0725 | | DE | 20 | 001- | 1010 | 2629 | | | 20010 | 120 |
| CA | 2407 | 677 | | | | | 2002 | 1028 | | | | | | 677 | | | 20010 | 427 |
| EP | 1276 | 720 | | | - A2 | | 2003 | 0122 | | EΡ | 20 | 001- | 9472 | 47 | | | 20010 | 427 |
| EP | 1276 | 720 | | | В1 | | 2006 | 1220 | | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GF | З, | IT, | LI, | LU, | NL, | SE | , MC, | PT, |
| | | | | | | | RO, | - | CY, | TF | 3 | | | | | | • | • |
| BR | 2001 | 0104 | 14 | | A | - | 2003 | 0211 | | BR | 20 | 01- | 1041 | 4 | | | 20010 | 427 |
| HU | 2003 | 00480 | 0 | | A2 | | 2003 | 0628 | | НΠ | -20 | าก | 4 R O | | | | 20010 | 427 |
| JP | 2004
2002 | 5010 | 92 | | \mathbf{T} | | 2004 | 0115 | | JΡ | 20 | 01- | 5797 | 84 | | | 20010 | 427 |
| ΕĘ | 2002 | 0060 | 7 | | A | | 2004 | 0415 | | ΕE | 20 | 002- | 607 | | | | 20010 | 427 |
| ΑU | 7834 | 59 | | | В2 | | 2005 | 1027 | | ΑU | 20 |)OT- | 6898 | 4 | | | 500T0 | 427 |
| NZ | 5222 | 46 | | | Α | | 2006 | 0127 | | ΝZ | 20 | 01- | 5222 | 46 | | : | 20010 | 427 |
| NO | 7834
5222
2002 | 0051 | 50 | | Α | | 2002 | 1216 | | NO | 20 | 002- | 5150 | | | | 20021 | 025 |
| MX | 2002 | PA10 | 627 | | Α | | 2004 | 0517 | | MX | 20 | 002- | PA10 | 627 | | : | 20021
20021
20021 | 028 |
| IN | 2002 | KN01 | 342 | | Α | | 2005 | 0311 | | IN | 20 | 002-1 | KN13 | 42 | | : | 20021 | 028 |
| BG | 1073 | 09 | | | Α | | 2003 | 0930 | | BG | 20 | 002- | 1073 | 09 | | | 20021 | 125 |
| HK | 1054 | | | | | | | | | НK | 20 | 003- | 1052 | 37 | | : | 20030 | 721 |
| IORIT | Y APP | LN. | INFO | .: | | | | | | DE | 20 | 000- | 1002 | 0852 | | A : | 20000 | 428 |
| | | | | | | | | | | DE | 20 | 01- | 1010 | 2629 | | A : | 20010 | 120 |
| | | | | | | | | | | WO | 20 | 01-1 | EP47 | 83 | | W : | 20010 | 427 |
| UPD CA | ALID CE | 101 . | | | CASI | סבאר | יתי 1 כ | 5.251 | 2771 | . N | AN D | יתעם | 135 | . 352 | 771 | | | |

OTHER SOURCE(S):

CASREACT 135:352771; MARPAT 135:352771

GΙ

alkyl, C1-6 alkylcarbonyl, etc.; R2 = H, halo, cyano, etc.; R3-R6 = H, halo, nitro, etc.; A-D = C, N; Y = (un)substituted C6-14 aryl, etc.; X = 0, S, NH, CHOH], and tautomers, stereoisomers, mixts. and salts thereof, as well as the production thereof and the use thereof for the treatment of tumors.

IT 370580-89-5P 370580-90-8P 370580-91-9P

370580-92-0P 370581-50-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(indole and heteroindole derivs. for antitumor agents, preparation, and pharmaceutical compns.)

RN 370580-89-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-90-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(3-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-91-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)(9CI) (CA INDEX NAME)

RN 370580-92-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2,4-dimethoxybenzoyl)-1-(phenylsulfonyl)-(9CI) (CA INDEX NAME)

RN 370581-50-3 CAPLUS

CN Methanone, 1H-pyrrolo[2,3-b]pyridin-2-yl(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

IT 370581-48-9P 370581-49-0P 370581-51-4P

370581-56-9P 370581-58-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (indole and heteroindole derivs. for antitumor agents, preparation, and

pharmaceutical compns.)
RN 370581-48-9 CAPLUS

CN Methanone, (2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-49-0 CAPLUS

CN Methanone, (3-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-51-4 CAPLUS

CN Methanone, (2,4-dimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

ŔN 370581-56-9 CAPLUS

Methanone, (7-oxido-1H-pyrrolo[2,3-b]pyridin-2-yl)(3,4,5-trimethoxyphenyl)-CN (9CI) (CA INDEX NAME)

370581-58-1 CAPLUS RN

1H-Pyrrolo[2,3-b]pyridin-6-ol, 1-acetyl-2-(3,4,5-trimethoxybenzoyl)~, CN acetate (ester) (9CI) (CA INDEX NAME)

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:795073 CAPLUS

DOCUMENT NUMBER: 135:331343

TITLE: Preparation of 1H-indol-2-yl aryl ketones and related

compounds as antitumor agents

Beckers, Thomas; Baasner, Silke; Klenner, Thomas; INVENTOR(S):

Mahboobi, Siavosh; Pongratz, Herwig; Frieser, Markus;

Hufsky, Harald; Hockemeyer, Joerg; Fiebig,

Heinz-Herbert; Burger, Angelika; Boehmer, Frank-D.

PATENT ASSIGNEE(S): Asta Medica A.-G., Germany

Ger. Offen., 34 pp. SOURCE:

CODEN: GWXXBX DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|------------------------------|----------------------------|---|----------------------|
| DE 10020852
WO 2001082909 | A1 20011031
A2 20011108 | DE 2000-10020852
WO 2001-EP4783 | 20000428
20010427 |
| GB, GE, HR, | HU, ID, IL, IN, | CN, CO, CZ, DE, DK, DZ, IS, JP, KG, KR, KZ, LT, SE, SG, SI, SK, TR, UA, | LU, LV, MK, |
| ZA, AM, AZ, | MD, TJ, TM | FI, FR, GB, GR, IE, IT, | |

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PT, SE, TR
     US 2002091124
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                                             US 2001-843139
                                                                     20010427
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     CA 2407677
                          A2
                                 20030122
                                             EP 2001-947247
                                                                     20010427
     EP 1276720
     EP 1276720
                          В1
                                 20061220
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, TR
                                 20030211
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OTHER SOURCE(S):

MARPAT 135:331343

AB Use of title compds. [I; R1 = H, alkylcarbonyl, alkylaminoalkyl,
 dialkylaminoalkyl, (hetero)cyclyl; R2 = H, halo, cyano, NO2, (substituted)
 alkyl, alkoxy, etc.; A-D = N, (substituted) C; R3-R6 = free electron pair
 if A-D = N, or H, halo, cyano, NO2, alkyl, etc. if A-D = C; Y =
 (substituted) aryl; X = O, S, NH, (H,OH)], for preparation of drugs for
 treatment of tumor illness in mammals is claimed. Thus,
 5-methoxy-lH-indol-2-yl Ph ketone (general preparation given) showed antitumor
 activity with IC50 = 96.5 nM in rat glioma cell lines C6.
IT 370581-50-3P, (lH-Pyrrolo[2,3-b]pyridin-2-yl)(3,4,5-

trimethoxyphenyl)methanone 370581-56-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of indolyl aryl ketones and related compds. as antitumor
 agents)

RN 370581-50-3 CAPLUS

CN Methanone, 1H-pyrrolo[2,3-b]pyridin-2-yl(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 370581-56-9 CAPLUS

CN Methanone, (7-oxido-1H-pyrrolo[2,3-b]pyridin-2-yl)(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

IT 370581-48-9P, (1H-Pyrrolo[2,3-b]pyridin-2-yl)(2-

methoxyphenyl)methanone 370581-49-0P, (1H-Pyrrolo[2,3-b]pyridin-

2-yl) (3-methoxyphenyl) methanone 370581-51-4P,

(1H-Pyrrolo[2,3-b]pyridin-2-yl)(2,4-dimethoxyphenyl)methanone

370581-58-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolyl aryl ketones and related compds. as antitumor agents)

RN 370581-48-9 CAPLUS

CN Methanone, (2-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-49-0 CAPLUS

CN Methanone, (3-methoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-51-4 CAPLUS

CN Methanone, (2,4-dimethoxyphenyl)-1H-pyrrolo[2,3-b]pyridin-2-yl- (9CI) (CA INDEX NAME)

RN 370581-58-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridin-6-ol, 1-acetyl-2-(3,4,5-trimethoxybenzoyl)-, acetate (ester) (9CI) (CA INDEX NAME)

IT 370580-89-5P 370580-90-8P 370580-91-9P

370580-92-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indolyl aryl ketones and related compds. as antitumor agents)

RN 370580-89-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-90-8 CAPLUS

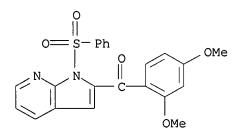
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(3-methoxybenzoyl)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-91-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)-(9CI) (CA INDEX NAME)

RN 370580-92-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(2,4-dimethoxybenzoyl)-1-(phenylsulfonyl)-(9CI) (CA INDEX NAME)



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ACCESSION NUMBER: 1997:198231 CAPLUS

DOCUMENT NUMBER: 126:293275

TITLE: Synthesis of 2-substituted-1H-pyrrolo[2,3-b]pyridines:

preparation of 7-azaolivacine analog and 7-azaindolopyridopyrimidine derivatives

AUTHOR(S): Desarbre, Eric; Coudret, Sandrine; Meheust, Cecile;

Merour, Jean-Yves

CORPORATE SOURCE: Inst. Chimie Organique Analytique, Univ. d'Orleans,

Orleans, F-45067, Fr.

SOURCE: Tetrahedron (1997), 53(10), 3637-3648

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

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AB 2-Substituted-1H-pyrrolo[2,3-b]pyridines I (R = Me, 4-MeC6H4CHOH, 4-ClC6H4CHOH, etc.) have been prepared from 7-azaindole by lithiation followed by addition of various electrophiles. A 7-azaolivacine analog II and a pyrido[3',2':4,5]pyrrolo[1,2-c]pyrido[3,2-d]pyrimidine III have also been prepared

IT 189089-95-0P 189089-96-1P 189089-97-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridines, azaolivacine analog, and azaindolopyridopyrimidine derivative)

RN 189089-95-0 CAPLUS

CN 3-Pyridinecarboxamide, N,N-diethyl-4-[hydroxy[1-(phenylsulfonyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)

RN 189089-96-1 CAPLUS

CN 3-Pyridinecarboxamide, N,N-diethyl-2-methoxy-4-[[1-(phenylsulfonyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 189089-97-2 CAPLUS

CN 3-Pyridinecarboxamide, N,N-diethyl-4-[1-hydroxy-1-[1-(phenylsulfonyl)-1H-pyrrolo[2,3-b]pyridin-2-yl]ethyl]-2-methoxy- (9CI) (CA INDEX NAME)

IT 189089-84-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of pyrrolopyridines, azaolivacine analog, and

azaindolopyridopyrimidine derivative)

RN

189089-84-7 CAPLUS
1H-Pyrrolo[2,3-b]pyridine-2-methanol, α -(4-methoxyphenyl)-1-CN (phenylsulfonyl) - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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